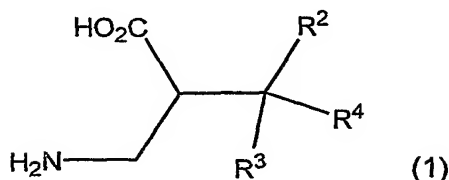
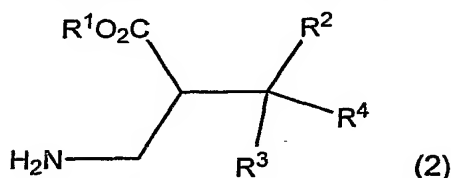


CLAIMS

1. Process for the preparation of an enantiomerically enriched  $\beta^2$ -amino acid of formula 1

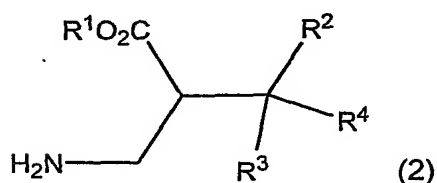


wherein  $R^2$ ,  $R^3$  and  $R^4$  each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl,  $OR^5$ ,  $CO_2R^6$ ,  $C(O)R^7$ ,  $SR^8$ ,  $NR^9R^{10}$ ,  $OC(O)R^{11}$  wherein  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and wherein  $R^2$  and  $R^3$ ,  $R^2$  and  $R^4$  or  $R^3$  and  $R^4$  may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a  $\beta^2$ -amino acid ester of formula 2



wherein  $R^1$  stands for an optionally substituted alkyl and wherein  $R^2$ ,  $R^3$  and  $R^4$  are as defined above and collecting the resulting enantiomerically enriched  $\beta^2$ -amino acid of formula 1.

2. Process for the preparation of an enantiomerically enriched  $\beta^2$ -amino acid ester of formula 2



wherein  $R^1$  stands for an optionally substituted alkyl and wherein  $R^2$ ,  $R^3$  and  $R^4$  each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl,  $OR^5$ ,  $CO_2R^6$ ,  $C(O)R^7$ ,  $SR^8$ ,  $NR^9R^{10}$ ,  $OC(O)R^{11}$  wherein  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and

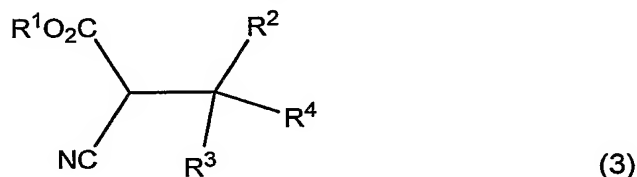
wherein  $R^2$  and  $R^3$ ,  $R^2$  and  $R^4$  or  $R^3$  and  $R^4$  may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a  $\beta^2$ -amino acid ester of formula 2, wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above and collecting the remaining enantiomerically enriched  $\beta^2$ -amino acid ester of formula 2.

3. Process according to claim 1 or claim 2, wherein the stereoselective hydrolytic enzyme is an enzyme from the enzyme classification group EC 3.1.1, 3.4.21, 3.4.22 or 3.4.23.

10 4. Process according to any one of claims 1-3, wherein the stereoselective hydrolytic enzyme has an E-ratio  $> 5$ .

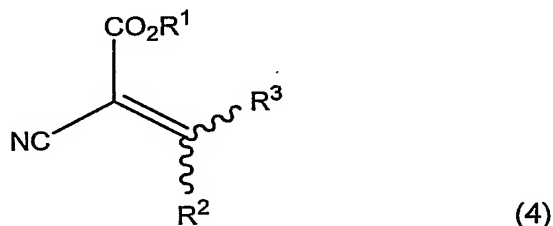
5. Process according to any one of claims 2-4, wherein the collected remaining enantiomerically enriched  $\beta^2$ -amino acid ester is further hydrolysed in a manner known per se.

15 6. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2 is prepared by reduction of the corresponding nitrile of formula 3



wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

20 7. Process according to claim 6, wherein the nitrile of formula 3, wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined above and wherein  $R^4$  stands for H is prepared by reduction of the corresponding nitrile of formula 4,



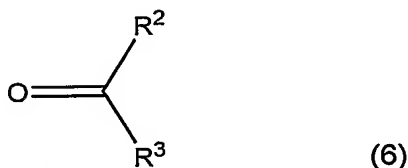
wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

25 8. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2, wherein  $R^4$  stands for H and  $R^1$ ,  $R^2$  and  $R^3$  are as defined above

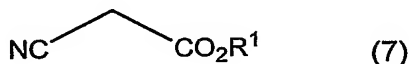
is prepared by reduction of the corresponding nitrile of formula 4, wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

9. Process according to claim 6, wherein the nitrile of formula 3, wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined in claim 6 is prepared from the corresponding nitrile of formula 4, wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined above by introduction of  $R^4$  via nucleophilic 1,4-addition using a suitable nucleophile.

10. Process according to any one of claims 7-9, wherein the nitrile of formula 4, wherein  $R^1$ ,  $R^2$  and  $R^3$  are as defined above is prepared by condensation of a ketone or aldehyde of formula 6

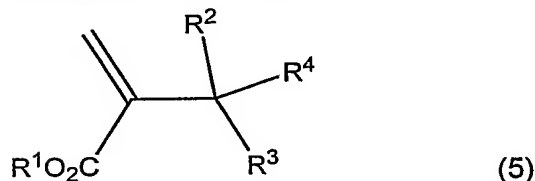


wherein  $R^2$  and  $R^3$  are as defined above and a nitrile of formula 7



wherein  $R^1$  is as defined above, in the presence of a suitable base or a dehydrating reagent.

11. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2, wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined in anyone of claims 1-5 is prepared by reacting  $NH_3$  or an  $NH_3$ -analogue with the 2-substituted acrylic acid ester of formula 5



wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined above.

12. Process according to any one of claims 1-11, wherein the enantiomerically enriched  $\beta^2$ -amino acid (ester) prepared according to a process of any one of claims 1-11 is further converted into a pharmaceutically active ingredient.

13. Process according to claim 12, wherein the pharmaceutically active ingredient is formulated into a pharmaceutical composition comprising the pharmaceutically active ingredient and an excipient.